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                 CA/CAplus enhanced with patent applications from India
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                 PHAR reloaded with new search and display fields
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                 PATDPASPC enhanced with Drug Approval numbers
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         FEB 15
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         FEB 23
                 KOREAPAT enhanced with IPC 8 features and functionality
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                 MEDLINE reloaded with enhancements
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                 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16
        FEB 26
                 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17
        FEB 26
                CAS Registry Number crossover limit increased from 10,000
                 to 300,000 in multiple databases
NEWS 18 MAR 15
                WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22
                LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02
                 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30
                 INPADOC replaced by INPADOCDB on STN
                 New CAS web site launched
NEWS 28 MAY 01
        MAY 08
NEWS 29
                 CA/CAplus Indian patent publication number format defined
NEWS 30 MAY 14
                 RDISCLOSURE on STN Easy enhanced with new search and display
                 fields
NEWS 31
        MAY 21
                 BIOSIS reloaded and enhanced with archival data
NEWS 32
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                 patents
NEWS 34
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                 CA/CAplus enhanced with IPC reclassification in Japanese
                 patents
NEWS EXPRESS
              NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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10 11 15 22 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 16 17 18 19 20 21
ring/chain nodes :
12
chain bonds :
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ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 2-7 \quad 3-4 \quad 3-9 \quad 4-5 \quad 5-6 \quad 7-8 \quad 8-9 \quad 16-17 \quad 16-21 \quad 17-18 \quad 18-19 \quad 19-20
exact/norm bonds :
2-7 4-12 6-11 7-8 8-10 11-15 12-22 23-24 25-26
exact bonds :
3-9 8-9 15-16 22-23 22-25
normalized bonds :
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isolated ring systems :
containing 1 :
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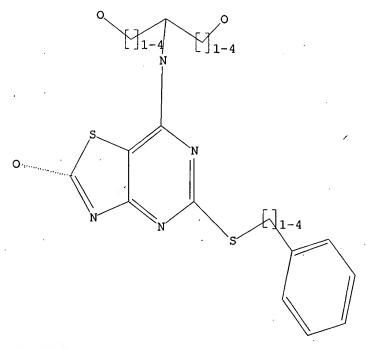
## G1:H,CH3

## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

## L1 STRUCTURE UPLOADED

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G1 H, Me

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 18:32:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED

5. ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

\*\*COMPLETE\*\* BATCH

PROJECTED ITERATIONS:

5 TO

PROJECTED ANSWERS:

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L2

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FULL SEARCH INITIATED 18:32:29 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 120 TO ITERATE

100.0% PROCESSED

120 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

L3

14 SEA SSS FUL L1

=> fil cap

COST IN U.S. DOLLARS

SINCE FILE TOTAL

> ENTRY SESSION

172.31

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 18:32:32 ON 13 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

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=> s 13

L4

4 L3

=> d 14 ibib hitstr abs 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:547606 CAPLUS

DOCUMENT NUMBER:

143:78206

TITLE:

Process for preparation of 5-difluorobenzylthio-7-

aminothiazolo[4,5-d]pyrimidin-2(3H)-ones via

protection and amination reactions.

INVENTOR(S):

Butters, Michael; Wisedale, Richard; Thomson, Colin;

Welham, Matthew James; Watts, Andrew

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 25 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English .

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
WO 2005056563 WO 2005056563								WO 2004-GB5072						20041202				
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		NO, TJ,	NZ, TM,	OM, TN,	PG, TR,	PH,	LV, PL, TZ,	PT, UA,	RO, UG,	RU, US,	SC, UZ,	SD, VC,	SE, VN,	SG, YU,	SK, ZA,	SL, ZM,	SY, ZW	
	R₩:	AZ, EE,	BY, ES,	KG, FI,	KZ, FR,	MD,	MW, RU, GR, BF,	ТJ, HU,	TM, IE,	AT, IS,	BE, IT,	BG, LT,	CH,	CY, MC,	CZ, NL,	DE, PL,	DK, PT,	
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CN	R: 1914	IE,	SI,	LT,	LV,	FI,	ES; RO, 2007	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR,	IS	
									CN 2004-80041445 BR 2004-17300									

JP 2007513131. Т 20070524 JP 2006-542009 20041202 NO 2006003111 ·A 20060905 NO 2006-3111 20060704 PRIORITY APPLN. INFO.: GB 2003-28243 20031205 WO 2004-GB5072 20041202

OTHER SOURCE(S): MARPAT 143:78206

676345-23-6P 855476-57-2P IT

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(claimed compound; preparation of difluorobenzylthioaminothiazolopyrimidinones

via protection and amination reactions)

RN676345-23-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

## Na

855476-57-2 CAPLUS RN

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-CN[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monopotassium salt (CA INDEX NAME)

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$$CH_2-S$$
  $N$   $N$   $N$   $S$   $Me$   $NH-C-CH_2-OH$   $CH_2-OH$ 

$$O = \bigvee_{N \in \mathbb{N}} \bigvee_{N \in \mathbb{N}} \bigvee_{SR^1} \bigvee_{I} O = \bigvee_{N \in \mathbb{N}} \bigvee_{SR^1} \bigvee_{II} O = \bigvee_{N \in \mathbb{N}} \bigvee_{II} O = \bigvee_{N \in \mathbb{$$

AB Title compds. I [R1 = (substituted) carbocyclyl, alkyl, alkenyl, aryl, heteroaryl; R2, R3 = H, (substituted) alkyl, carbocyclyl, alkenyl, alkynyl], were prepared by treatment of precursors II (R1 as above; L = leaving group; Q = H) with a protecting reagent to give I; (R1, L as above; Q = protecting group), treatment of the latter with HNR2R3 (R2, R3 as above), and deprotection. Thus, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one (preparation given) and p-TsOH in PhMe at 60° was treated with 3,4-dihydropyran over 1 h and maintained at 60° for 2 h. The mixture was cooled, stirred with aqueous NaHCO3 and then brine and the resulting solution was heated

with THF, Na2CO3, and D-alaninol followed by heating at 60° for 11.5 h and at 65° for 24 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)thiazolo[4,5-d]pyrimidin-2(3H)-one. The latter in MeCN/H2O/THF at 65° was treated with 1N HCl over 3 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:267340 CAPLUS

DOCUMENT NUMBER:

140:303689

TITLE:

Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-

{[(2-hydroxy-1-(hydroxymethyl)-1-

methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one

as CXCR2 receptor antagonist

INVENTOR(S):

Bonnert, Roger Victor

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 23 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

· PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.						DATE				
WO	WO 2004026880				A1 20040401					•							
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		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	ĹC,	LK,
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,
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EP	1543	543013 A1 20050622				0622	]	EP 2003-748263					20030916				
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BR 2003014844	Α	20050809	BR	2003-14844		20030916
CN 1681826	Α	20051012	CN	2003-822335		20030916
JP 2006503835	T	20060202	JP	2004-537276		20030916
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ZA 2005002272	Α	20050919	ZA	2005-2272		20050317
NO 2005001892	Α	20050617	NO	2005-1892		20050419
US 2006100221	A1	20060511	US	2005-528316		20051201
PRIORITY APPLN. INFO.:			GB	2002-21828	Α	20020920
		•	`WO	2003-GB3998	W	20030916

OTHER SOURCE(S): MARPAT 140:303689

IT 676345-22-5P 676345-23-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(multi-step preparation of  $5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-\{[(2-\text{hydroxy-1-(hydroxymethyl})-1-\text{methylethyl}]\text{amino}\}\text{thiazolo}[4,5-\text{d}]\text{pyrimidin-2(3H)-one as CXCR2 receptor antagonist)}$ 

RN 676345-22-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME).

RN 676345-23-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)

Na

IT 676345-26-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(multi-step preparation of  $5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-\{[(2-\text{hydroxy-1-(hydroxymethyl})-1-\text{methylethyl}]\text{amino}\}\text{thiazolo}[4,5-d]\text{pyrimidin-}2\cdot(3\text{H})-\text{one as CXCR2 receptor antagonist})$ 

RN 676345-26-9 CAPLUS

CN 1,3-Propanediol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compound I and its monosodium salt, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., were prepared in a multi-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

2

ACCESSION NUMBER:

2004:267303 CAPLUS -

DOCUMENT NUMBER:

140:303685

TITLE:

Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thia

zolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor

antagonist

INVENTOR(S):

Brough, Stephen John; McInally, Thomas

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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WO 200402		A1 20040401				WO 2003-GB4000						20030916			
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OTHER SOURCE(S):
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             676345-69-0P
             RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
              (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
              (Uses)
                      (\text{multi-step preparation of } 5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-\{[(1S,2S)-\text{multi-step preparation of } 5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-\{[(3S,2S)-\text{multi-step preparation of } 5-\{[(3S,2S)-\text{multi-step preparation of } 5-\{
                     2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-
                     one as CXCR2 receptor antagonist)
RN
              676345-69-0 CAPLUS
CN
             Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-
              [[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino]- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

GΙ

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB The title compound I, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., was prepared in a 7-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 µM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is

claimed. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:265425 CAPLUS

DOCUMENT NUMBER:

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TITLE:

Preparation of novel thiazolo[4,5-d]pyrimidines as

modulators of chemokine receptors

INVENTOR(S):

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PATENT ASSIGNEE(S):

Astrazeneca UK Limited, UK PCT Int. Appl., 85 pp.

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LANGUAGE:

English

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PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
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			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	, FI,	GB,	GD,	GE,	GH,	GM,	HR,	
			HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP	, KR,	KZ,	LC,	LK,	LR,	LS,	LT,	
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			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT	, LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	
			CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR	, NE,	SN,	TD,	TG	-	-		
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			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	$\mathtt{AL}$					•			
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OTHER SOURCE(S): MARPAT 134:280857

IT 333742-86-2P 333742-87-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-86-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(methoxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)

F 
$$CH_2-S$$
  $N$   $N$   $S$   $MeO-CH_2-CH-NH$   $HO-CH_2$ 

RN 333742-87-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

IT 333742-50-0P 333742-72-6P 333742-91-9P

333742-92-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-50-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 $N$ 
 $N$ 
 $S$ 
 $HO-CH_2-CH-NH$ 
 $HO-CH_2$ 

RN 333742-72-6 CAPLUS

CN Propanamide, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-

oxothiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-91-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-/[[2-hydroxy-1-(methoxymethyl)ethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 333742-92-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)

RN 333743-99-0 CAPLUS
CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 333744-02-8 CAPLUS
CN 1,3-Propanediol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ \text{HO-CH2-CH-NH} \\ & \text{N} \end{array}$$

GI

$$0 = \begin{pmatrix} N_{R}^{2} R^{3} \\ N_{R$$

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, alkyl, cycloalkyl, etc.], useful in treating a chemokine mediated disease, were prepared E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = CMe2CH2OH; R3 = H] was described. The compds. I were tested and found to be antagonists of the CXCR2 receptor in human neutrophils.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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---Logging off of STN---

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Executing the logoff script...

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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